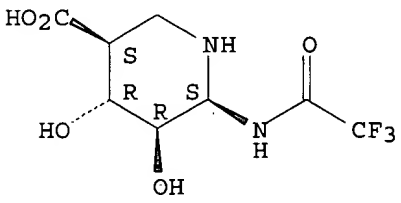
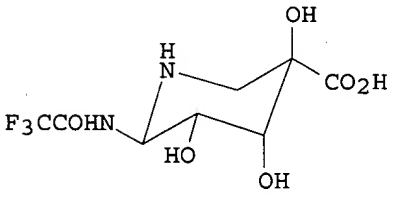
AN 2002:318083 CAPLUS
DN 137:185744
TI Synthesis and investigation of L-fuco- and D-glucurono-azafagomine
AU Jensen, Henrik H.; Jensen, Astrid; Hazell, Rita G.; Bols, Mikael
CS Department of Chemistry, University of Aarhus, Aarhus, DK-8000, Den.
SO Journal of the Chemical Society, Perkin Transactions 1 (2002), (9), 1190-1198
CODEN: JCSPCE; ISSN: 1472-7781
PB Royal Society of Chemistry
DT Journal
LA English
OS CASREACT 137:185744
AB The new azasugars (3S,4R,5S)-4,5-dihydroxy-3-methylhexahydropyridazine (I) and (3S,4R,5R)-4,5-dihydroxyhexahydropyridazine-3-carboxylic acid (II) were synthesized. I was made from D-ribose in ten steps in a synthesis that involved partial 2,3-protection, deoxygenation of the 5-OH, reductive amination with tert-Bu carbazate, mesylation, cyclization and deprotection. II was made from L-xylose in twelve steps in a related way starting with 2,3,5-protection, reductive amination with tert-Bu carbazate, mesylation and cyclization. The key step in this synthesis is selective debenzylation of a primary benzyl ether with acetyl bromide to produce a partially benzylated hexahydropyridazine that was oxidized to the acid and deprotected. The 3-, 4- and 6-deoxy analogs of azafagomine, (3R,4R,5R)-4,5-dihydroxy-3-hydroxymethylhexahydropyridazine, were also made. I and II were shown to be potent .alpha.-fucosidase and .beta.-glucuronidase inhibitors, resp.
IT **449729-70-8**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(synthesis and glycosidase inhibition studies of L-fuco- and D-glucurono-azafagomine derivs.)
RN 449729-70-8 CAPLUS
CN 3-Piperidinecarboxylic acid, 4,5-dihydroxy-6-[(trifluoroacetyl)amino]-, (3S,4R,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



AN 1992:571897 CAPLUS
DN 117:171897
TI Totally synthetic analogs of siastatin B. II. Optically active piperidine derivatives having trifluoroacetamide and hydroxyacetamide groups at C-2
AU Nishimura, Yoshio; Kudo, Toshiaki; Kondo, Shinichi; Takeuchi, Tomio
CS Inst. Microb. Chem., Tokyo, 141, Japan
SO Journal of Antibiotics (1992), 45(6), 963-70
CODEN: JANTAJ; ISSN: 0021-8820
DT Journal
LA English
GI

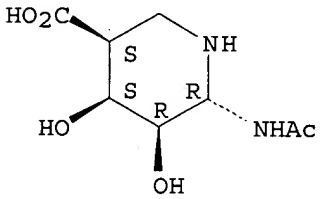


I

AB Siastatin B analogs, optically active 2-(trifluoroacetamido)-3,4,5-trihydroxypiperidines having nitromethyl, aminomethyl, and carboxyl branched groups at C-5, and (+)-(2R,3R,4R,5R)-5-(aminomethyl)-3,4,5-trihydroxy-2-(hydroxyactamido)piperidine were synthetically obtained from D-ribono-1,4-lactone. Some analogs have inhibitory activity against some glycosidases, and (+)-(2R,3R,4R,5R)-2-(trifluoroacetamido)-3,4,5-trihydroxypiperidine-5-carboxylic acid (I) showed a marked inhibitory activity against .beta.-glucuronidase.
IT **143625-46-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and glycosidase-inhibiting activity of)
RN 143625-46-1 CAPLUS
CN 3-Piperidinecarboxylic acid, 3,4,5-trihydroxy-6-[(trifluoroacetyl)amino]-, monohydrochloride, [3R-(3.alpha.,4.beta.,5.beta.,6.alpha.)]- (9CI)

AN 1993:81304 CAPLUS
DN 118:81304
TI Syntheses and activities of N-substituted derivatives of siastatin B
AU Kudo, Toshiaki; Nishimura, Yoshio; Kondo, Shinichi; Takeuchi, Tomio
CS Inst. Microbial Chem., Tokyo, 141, Japan
SO Journal of Antibiotics (1992), 45(10), 1662-8
CODEN: JANTAJ; ISSN: 0021-8820
DT Journal
LA English
AB N-Substituted derivs. of siastatin B have been obtained by a chem. modification. Some derivs. showed potent inhibitory activities against Streptococcus sp. and Clostridium perfringens neuraminidases.
IT **54795-58-3P**, Siastatin B
RL: SPN (Synthetic preparation); PREP (Preparation)
(N-substituted derivs., prepn. and N-neuraminidase inhibition by)
RN 54795-58-3 CAPLUS
CN 3-Piperidinecarboxylic acid, 6-(acetylamino)-4,5-dihydroxy-, (3S,4S,5R,6R)- (9CI) (CA INDEX NAME)

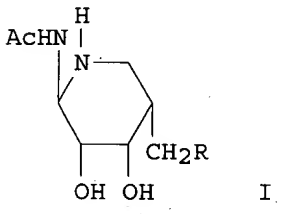
Absolute stereochemistry. Rotation (+).



AN 1997:448095 CAPLUS
DN 127:66098
TI Preparation of 3-hydroxymethyl-3-decarboxysiastatin B derivatives as glycosidase inhibitors
IN Nishimura, Yoshio; Sato, Takahiko; Kudo, Toshiaki; Kondo, Shinichi; Takeuchi, Tomio
PA Microbiochemical Research Foundation, Japan
SO Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09157254	A2	19970617	JP 1995-314562	19951201
			JP 1995-314562	19951201

OS CASREACT 127:66098; MARPAT 127:66098
GI



I

AB The title compds. (I; R = OH, MeS, MeSO, NH2, CONH2) are prepd. I, possessing glycosidase inhibitory activity, are useful as cancer metastasis suppressors. Thus, siastatin deriv. (II) (prepn. given) was reduced by NaBH4 in CF3CH2OH and treated with HCl in dioxane to give I (R = OH), which showed IC50 of 0.042 and 0.27 .mu.g/mL against .beta.-N-acetyl-glucosaminidase and .alpha.-N-acetyl-galactosaminidase resp.

IT **176246-06-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-hydroxymethyl-3-decarboxysiastatin derivs. as glycosidase inhibitors)
RN 176246-06-3 CAPLUS
CN Acetamide, N-[(2R,3R,4S,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).